

PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY (Chapter I of the Patent Cooperation Treaty)

(PCT Rule 44bis)

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|--|--|--|------------------|
| Applicant's or agent's file reference 1301WOORD01 | FOR FURTHER ACTION | | See item 4 below |
| International application No. PCT/EP2004/053562 | International filing date (<i>day/month/year</i>) 17 December 2004 (17.12.2004) | Priority date (<i>day/month/year</i>) 19 December 2003 (19.12.2003) | |
| International Patent Classification (8th edition unless older edition indicated) See relevant information in Form PCT/ISA/237 | | | |
| Applicant ALTANA PHARMA AG | | | |

1. This international preliminary report on patentability (Chapter I) is issued by the International Bureau on behalf of the International Searching Authority under Rule 44 bis.1(a).

2. This REPORT consists of a total of 6 sheets, including this cover sheet.

In the attached sheets, any reference to the written opinion of the International Searching Authority should be read as a reference to the international preliminary report on patentability (Chapter I) instead.

3. This report contains indications relating to the following items:

- | | | |
|-------------------------------------|--------------|---|
| <input checked="" type="checkbox"/> | Box No. I | Basis of the report |
| <input type="checkbox"/> | Box No. II | Priority |
| <input type="checkbox"/> | Box No. III | Non-establishment of opinion with regard to novelty, inventive step and industrial applicability |
| <input type="checkbox"/> | Box No. IV | Lack of unity of invention |
| <input checked="" type="checkbox"/> | Box No. V | Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement |
| <input type="checkbox"/> | Box No. VI | Certain documents cited |
| <input type="checkbox"/> | Box No. VII | Certain defects in the international application |
| <input type="checkbox"/> | Box No. VIII | Certain observations on the international application |

4. The International Bureau will communicate this report to designated Offices in accordance with Rules 44bis.3(c) and 93bis.1 but not, except where the applicant makes an express request under Article 23(2), before the expiration of 30 months from the priority date (Rule 44bis .2).

Date of issuance of this report
20 June 2006 (20.06.2006)

Authorized officer

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Form PCT/IB/373 (January 2004)

PATENT COOPERATION TREATY

REC'D 16 FEB 2005

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From the
INTERNATIONAL SEARCHING AUTHORITY

To:

see form PCT/ISA/220

WRITTEN OPINION OF THE
INTERNATIONAL SEARCHING AUTHORITY
(PCT Rule 43bis.1)

Date of mailing
(day/month/year) see form PCT/ISA/210 (second sheet)

Applicant's or agent's file reference
see form PCT/ISA/220

FOR FURTHER ACTION
See paragraph 2 below

International application No.
PCT/EP2004/053562

International filing date (day/month/year)
17.12.2004

Priority date (day/month/year)
19.12.2003

International Patent Classification (IPC) or both national classification and IPC
C07D471/04, C07D493/14

Applicant
ALTANA PHARMA AG

1. This opinion contains indications relating to the following items:

- ☒ Box No. I Basis of the opinion
- ☐ Box No. II Priority
- ☐ Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
- ☐ Box No. IV Lack of unity of invention
- ☒ Box No. V Reasoned statement under Rule 43bis.1(a)(i) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- ☐ Box No. VI Certain documents cited
- ☐ Box No. VII Certain defects in the international application
- ☐ Box No. VIII Certain observations on the international application

2. FURTHER ACTION

If a demand for international preliminary examination is made, this opinion will usually be considered to be a written opinion of the International Preliminary Examining Authority ("IPEA"). However, this does not apply where the applicant chooses an Authority other than this one to be the IPEA and the chosen IPEA has notified the International Bureau under Rule 66.1bis(b) that written opinions of this International Searching Authority will not be so considered.

If this opinion is, as provided above, considered to be a written opinion of the IPEA, the applicant is invited to submit to the IPEA a written reply together, where appropriate, with amendments, before the expiration of three months from the date of mailing of Form PCT/ISA/220 or before the expiration of 22 months from the priority date, whichever expires later.

For further options, see Form PCT/ISA/220.

3. For further details, see notes to Form PCT/ISA/220.

Name and mailing address of the ISA:



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**WRITTEN OPINION OF THE
INTERNATIONAL SEARCHING AUTHORITY**

International application No.
PCT/EP2004/053562

Box No. 1 Basis of the opinion

1. With regard to the **language**, this opinion has been established on the basis of the international application in the language in which it was filed, unless otherwise indicated under this item.
☐ This opinion has been established on the basis of a translation from the original language into the following language , which is the language of a translation furnished for the purposes of international search (under Rules 12.3 and 23.1(b)).
2. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application and necessary to the claimed invention, this opinion has been established on the basis of:
 - a. type of material:
☐ a sequence listing
☐ table(s) related to the sequence listing
 - b. format of material:
☐ in written format
☐ in computer readable form
 - c. time of filing/furnishing:
☐ contained in the international application as filed.
☐ filed together with the international application in computer readable form.
☐ furnished subsequently to this Authority for the purposes of search.
3. ☐ In addition, in the case that more than one version or copy of a sequence listing and/or table relating thereto has been filed or furnished, the required statements that the information in the subsequent or additional copies is identical to that in the application as filed or does not go beyond the application as filed, as appropriate, were furnished.
4. Additional comments:

**WRITTEN OPINION OF THE
INTERNATIONAL SEARCHING AUTHORITY**

International application No.
PCT/EP2004/053562

Box No. V Reasoned statement under Rule 43bis.1(a)(i) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

| | | |
|-------------------------------|-------------|------|
| Novelty (N) | Yes: Claims | 1-12 |
| | No: Claims | |
| Inventive step (IS) | Yes: Claims | |
| | No: Claims | 1-12 |
| Industrial applicability (IA) | Yes: Claims | 1-12 |
| | No: Claims | |

2. Citations and explanations

see separate sheet

Re Item V

**Reasoned statement with regard to novelty, inventive step or industrial applicability;
citations and explanations supporting such statement**

1. The following documents (D) are referred to in this communication; the numbering will be adhered to in the rest of the procedure:

- D1: WO 95/27714 A (ASTRA AB ; BRIVING CARIN BIRGITTA (SE); NORDBERG MATS PETER (SE); STAR) 19 October 1995 (1995-10-19)
D2: WO 03/014123 A (BUHR WILM ; ALTANA PHARMA AG (DE); SENN-BILFINGER JOERG (DE)) 20 February 2003 (2003-02-20)
D3: WO 98/54188 A (BYK GULDEN LOMBERG CHEM FAB ; SENN BILFINGER JOERG (DE)) 3 December 1998 (1998-12-03)
D4: US-A-4 468 400 (PUCHALSKI CHESTER ET AL) 28 August 1984 (1984-08-28)
D5: KAMINSKI J J ET AL: "ANTIULCER AGENTS CONFORMATIONAL CONSIDERATIONS AND THE ANTIULCER ACTIVITY OF SUBSTITUTED IMIDAZO 1,2-A PYRIDINES AND RELATED ANALOGUES" JOURNAL OF MEDICINAL CHEMISTRY, AMERICAN CHEMICAL SOCIETY. WASHINGTON, US, vol. 32, no. 8, January 1989 (1989-01), pages 1686-1700, XP002008622
ISSN: 0022-2623

2. Novelty

The claimed subject matter is essentially concerned with imidazopyridines useful as intermediates:

- claims 1-8: imidazopyridines intermediates per se (1)
- claims 9,10: process to make intermediate (1)
- claim 11: use of intermediate (1) to make intermediate (4); needs one process step
- claim 12: use of intermediate (1) to make intermediate (1); needs 2 process steps

The intermediate of claims 1-8 seems to be novel, the closest structure is disclosed in D1 (see figure 1, formula III).

Subject matter of claims 9-12 seem also to be novel.

Therefore the claimed subject matter can be recognised as being novel.

3. Inventive step

Document D1, which is considered to represent the most relevant state of the art, discloses (cf. fig. 1, 4th and 5th step) a multistep process via intermediates IV and III) from which the subject-matter of claim 1 and pending claims differs in that the claimed intermediate contains a protecting group (PG) for one hydroxy group.

The problem to be solved by this application can be regarded as an alternative process to make tricyclic imidazopyridin derivatives.

The solution proposed in the claimed subject matter of the present application cannot be considered as involving an inventive step for the following reasons:

The prior art teaches an analogous pathway leading to the tricyclic imidazopyridine derivatives without protecting the hydroxy group directly linked to the heterocycle. This means that the protection is a secondary feature and does not influence the overwhole reaction pathway, therefore inventivity cannot be recognised for the subject matter of claims 1-12.